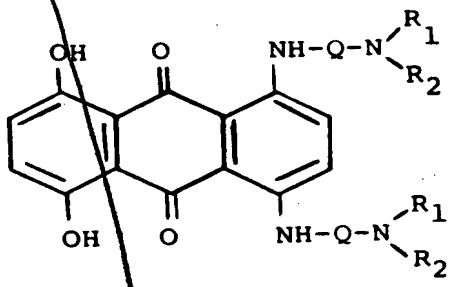
CLAIMS

1. A compound selected from the group consisting of those of the formula:



wherein Q is a divalent moiety selected from the group consisting of those of the formulae:

$-(CH_2)_n-$, $-CH(CH_3)-CH_2-$, $-CH_2-CH(CH_3)-$, $-CH(CH_3)-CH(CH_3)-$,

$-CH(C_2H_5)-CH_2-$, $-CH_2-CH(C_2H_5)-$, $-CH(CH_3)-CH_2-CH_2-$,

$-CH_2-CH(CH_3)-CH_2-$ and $-CH_2-CH_2-CH(CH_3)-$

wherein n is an integer from 2 to 4, inclusive; R_1 and R_2 are each individually selected from the group consisting of hydrogen, alkyl having from 1 to 4 carbon atoms, monohydroxyalkyl having from 2 to 4 carbon atoms and wherein the carbon atom alpha to the nitrogen atom may not bear an hydroxy group, dihydroxyalkyl having from 3 to 6 carbon atoms and wherein the carbon atom alpha to the nitrogen atom may not bear an hydroxy group, formyl, alkanoyl having from 2 to 4 carbon atoms, trifluoroacetyl and moieties of the formulae:

$-(CH_2)_n-CN$, $-(CH_2)_n-O-R$ and $-(CH_2)_n-N(R_3)(R_4)$

wherein n is an integer from 2 to 4, inclusive, R is alkyl having from 1 to 4 carbon atoms, and R_3 and R_4 are each individually selected from the group consisting of hydrogen, alkyl having from 1 to 4 carbon atoms and monohydroxyalkyl having from 2 to 4 car-

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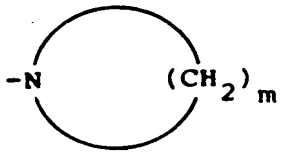
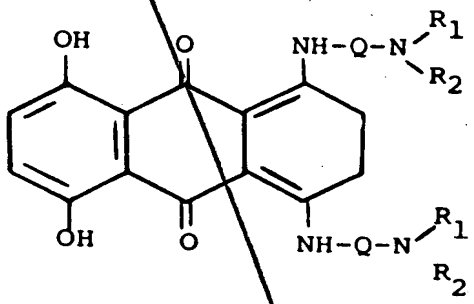
bon atoms and wherein the carbon atom alpha to the nitrogen atom may not bear an hydroxy group, and R_3 and R_4 taken together with their associated N(itrogen) is morpholino, thiomorpholino, piperazino, 4-methyl-1-piperazino or a moiety of the formula:

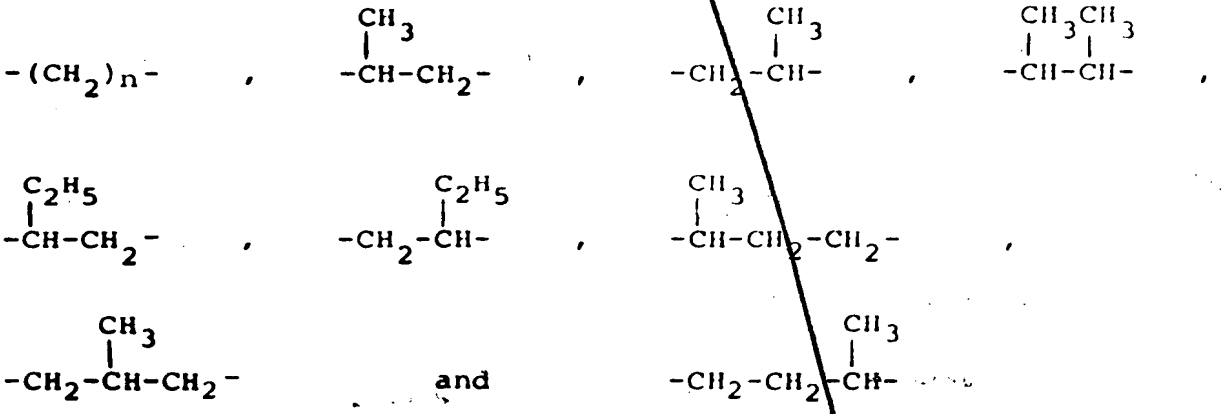


wherein m is an integer from 2 to 6, inclusive; with the first proviso that the ratio of the total number of carbon atoms to the sum of the total number of oxygen atoms plus the total number of nitrogen atoms in the side chains at the 1-position and the 4-position may not exceed 4 and with the second proviso that R_1 and R_2 may not both be hydrogen or alkyl; and the pharmacologically acceptable acid-addition salts thereof.

2. A compound selected from the group consisting of those of the formula:



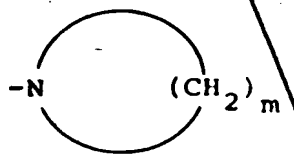
wherein Q is a divalent moiety selected from the group consisting of those of the formulae:



wherein n is an integer from 2 to 4, inclusive; R_1 and R_2 are each individually selected from the group consisting of hydrogen, alkyl having from 1 to 4 carbon atoms, monohydroxyalkyl having from 2 to 4 carbon atoms and wherein the carbon atom alpha to the nitrogen atom may not bear an hydroxy group, dihydroxyalkyl having from 3 to 6 carbon atoms and wherein the carbon atom alpha to the nitrogen atom may not bear an hydroxy group, formyl, alkanoyl having from 2 to 4 carbon atoms, trifluoroacetyl and moieties of the formulae:

$-(CH_2)_n-CN$, $-(CH_2)_n-O-R$ and $-(CH_2)_n-N\begin{matrix}R_3\\R_4\end{matrix}$

wherein n is an integer from 2 to 4, inclusive, R is alkyl having from 1 to 4 carbon atoms, and R_3 and R_4 are each individually selected from the group consisting of hydrogen, alkyl having from 1 to 4 carbon atoms and monohydroxyalkyl having from 2 to 4 carbon atoms and wherein the carbon atom alpha to the nitrogen atom may not bear an hydroxy group, and R_3 and R_4 taken together with their associated N(itrogen) is morpholino, thiomorpholino, piperazino, 4-methyl-1-piperazino or a moiety of the formula:



wherein m is an integer from 2 to 6, inclusive; with the first proviso that the ratio of the total number of carbon atoms to the sum of the total number of oxygen atoms plus the total number of nitrogen atoms in the side chains at the 1-position and the 4-position may not exceed 4 and with the second proviso that R_1 and R_2 may not both be hydrogen or alkyl; the tautomers thereof; and the pharmacologically acceptable acid-addition salts thereof.

3. An acid-addition salt according to Claim 1 wherein the acid is sulfuric acid.

4. An acid-addition salt according to Claim 2 wherein the acid is phosphoric acid.

5. An acid-addition salt according to Claim 1 wherein the acid is hydrochloric acid.

6. An acid-addition salt according to Claim 2 wherein the acid is hydrobromic acid.

7. An acid-addition salt according to Claim 1 wherein the acid is sulfamic acid.

8. An acid-addition salt according to Claim 2 wherein the acid is citric acid.

9. An acid-addition salt according to Claim 1 wherein the acid is lactic acid.

10. An acid-addition salt according to Claim 2 wherein the acid is malic acid.

11. An acid-addition salt according to Claim 1 wherein the acid is succinic acid.

12. An acid-addition salt according to Claim 2 wherein the acid is tartaric acid.

13. An acid-addition salt according to Claim 1 wherein the acid is acetic acid.

14. An acid-addition salt according to Claim 2 wherein the acid is benzoic acid.

15. An acid-addition salt according to Claim 1 wherein the acid is gluconic acid.

16. An acid-addition salt according to Claim 2 wherein the acid is ascorbic acid.

17. The compound according to Claim 2 wherein Q is ethylene, R_1 is hydrogen, and R_2 is β-aminoethyl and in the leuco free base form.

17 ~~18~~. The compound according to Claim 1 wherein Q is ethylene and R_1 and R_2 are both β-hydroxyethyl and in the aromatic free base form.

18 ~~19~~. The compound according to Claim 1 wherein Q is ethylene, R_1 is hydrogen, and R_2 is β-hydroxyethyl and in the disuccinate salt form.

~~20.~~ The compound according to Claim 1 wherein Q is ethylene, R_1 is hydrogen and R_2 is β-(methylamino)ethyl and in the aromatic free base form.

19 ~~21~~. The compound according to Claim 1 wherein Q is ethylene, R_1 is hydrogen, and R_2 is β-hydroxyethyl and in the dihydrochloride salt form.

20 ~~22~~. The compound according to Claim 1 wherein Q is ethylene, R_1 is hydrogen, and R_2 is 3-hydroxypropyl and in the dihydrobromide salt form.

21 ~~23~~. The compound according to Claim 1 wherein Q is ethylene, R_1 is hydrogen, and R_2 is 2-hydroxypropyl and in the disuccinate salt form.

22 ~~24~~. The compound according to Claim 1 wherein Q is trimethylene, R_1 is hydrogen, and R_2 is β-hydroxyethyl and in the diacetate salt form.

~~25.~~ The compound according to Claim 1 wherein Q is ethylene, R_1 is hydrogen, and R_2 is 2,3-dihydroxypropyl and in the dihydrochloride salt form.

23 ~~26~~. The compound according to Claim 1 wherein Q is $-CH_2CH(CH_3)-$, R_1 is hydrogen, and R_2 is β-hydroxyethyl and in the dimalate salt form.

~~27. The compound according to Claim 1 wherein Q is~~ ethylene, R_1 is hydrogen, and R_2 is morpholinoethyl and in the diacetate salt form.

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28. The compound according to claim 1 wherein Q is ethylene, R_1 is hydrogen, and R_2 is β-hydroxyethyl and in the aromatic free base form.

29. A compound according to claim 28 in its pharmacologically acceptable acid-addition salt form.

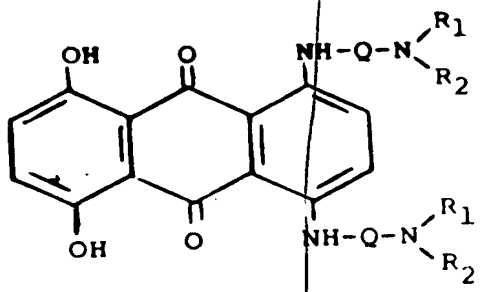
30. The compound according to claim 1 wherein Q is ethylene, R_1 is hydrogen, and R_2 is β-hydroxyethyl and in the digluconate salt form.

31. The compound according to claim 1 wherein Q is ethylene, R_1 is hydrogen, and R_2 is β-hydroxyethyl and in the dibenzoate salt form.

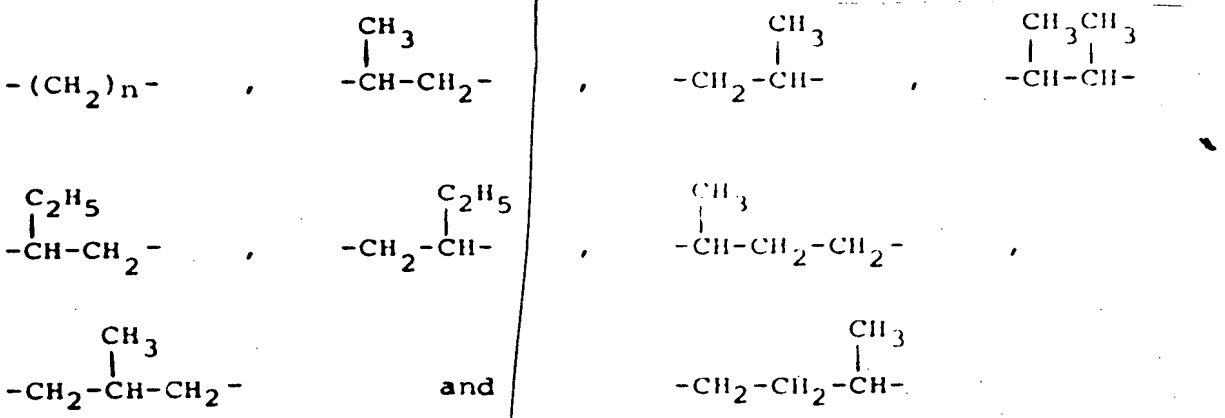
32. The compound according to claim 2 wherein Q is ethylene, R_1 is hydrogen, and R_2 is β-hydroxyethyl and in the leuco free base form.

33. The compound according to claim 2 wherein Q is ethylene, R_1 is hydrogen, and R_2 is 2-hydroxypropyl and in the leuco free base form.

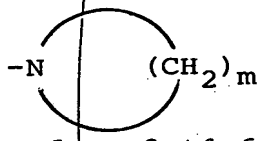
34. A method of inducing regression and/or palliation of cancer diseases in a mammal comprising administering orally or parenterally to said mammal an effective amount of a compound selected from the group consisting of those of the formula:



wherein Q is a divalent moiety selected from the group consisting of those of the formulae:



wherein n is an integer from 2 to 4, inclusive, R_1 is hydrogen or alkyl having from 1 to 4 carbon atoms, R_2 is hydrogen or alkyl having from 1 to 4 carbon atoms, R_1 and R_2 taken together with their associated N(itrogen) is morpholino, thiomorpholino, piperazino, 4-methyl-1-piperazino or a moiety of the formula:



wherein m is an integer from 2 to 6, inclusive; the leuco bases and tautomers thereof and the pharmacologically acceptable acid-addition salts thereof.

35. The method according to Claim 34 wherein Q is trimethylene and $-NR_1R_2$ is aziridino and in the aromatic dihydrochloride salt form.

36. The method according to Claim 34 wherein Q is trimethylene and $-NR_1R_2$ is azetidino and in the leuco dihydrobromide salt form.

37. The method according to Claim 34 wherein Q is tetramethylene and R_1 and R_2 are both hydrogen and in the aromatic free base form.

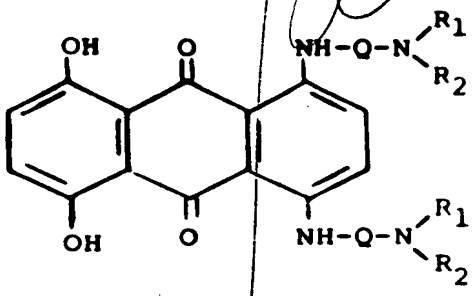
38. The method according to Claim 34 wherein Q is trimethylene and $-NR_1R_2$ is thiomorpholino and in the leuco free base form.

39. The method according to Claim 34 wherein Q is $-CH(CH_3)CH_2-$ and R_1 and R_2 are both ethyl and in the leuco free base form.

40. The method according to Claim 34 wherein Q is $-CH(C_2H_5)CH_2-$ and $-NR_1R_2$ is 4-methyl-1-piperazino and in the aromatic tetraacetate salt form.

41. The method according to Claim 34 wherein Q is $-CH_2CH(CH_3)CH_2-$ and $-NR_1R_2$ is piperazino and in the leuco free base form.

42. A composition in dosage unit form useful for inducing regression and/or palliation of cancer diseases in mammals comprising from about one mg. to about 200 mg. per kilogram of body weight per daily dosage unit of a compound selected from the group consisting of those of the formula:



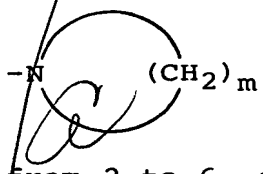
wherein Q is a divalent moiety selected from the group consisting of those of the formulae:

$-(CH_2)_n-$, $-\underset{\displaystyle CH_3}{\underset{|}{CH}}-CH_2-$, $-CH_2-\underset{\displaystyle CH_3}{\underset{|}{CH}}-$, $-\underset{\displaystyle CH_3}{\underset{|}{CH}}-\underset{\displaystyle CH_3}{\underset{|}{CH}}-$,

$-\underset{\displaystyle C_2H_5}{\underset{|}{CH}}-CH_2-$, $-CH_2-\underset{\displaystyle C_2H_5}{\underset{|}{CH}}-$, $-\underset{\displaystyle CH_3}{\underset{|}{CH}}-CH_2-CH_2-$,

$-CH_2-\underset{\displaystyle CH_3}{\underset{|}{CH}}-CH_2-$ and $-CH_2-CH_2-\underset{\displaystyle CH_3}{\underset{|}{CH}}-$

wherein n is an integer from 2 to 4, inclusive, R_1 is hydrogen or alkyl having from 1 to 4 carbon atoms, R_2 is hydrogen or alkyl having from 1 to 4 carbon atoms, and R_1 and R_2 taken together with their assocaited N(itrogen) is morpholino, thiomorpholino, piperazino, 4-methyl-1-piperazino or a moiety of the formula:

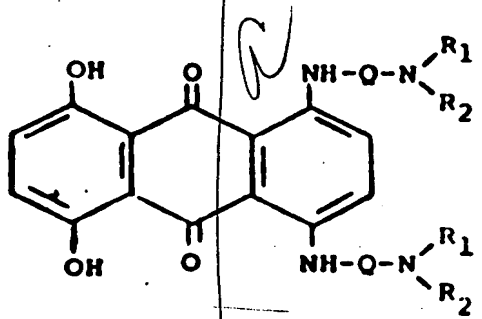


wherein m is an integer from 2 to 6, inclusive; the leuco bases and tautomers thereof and the pharmacologically acceptable acid-addition salts thereof; in association with a pharmaceutical carrier.

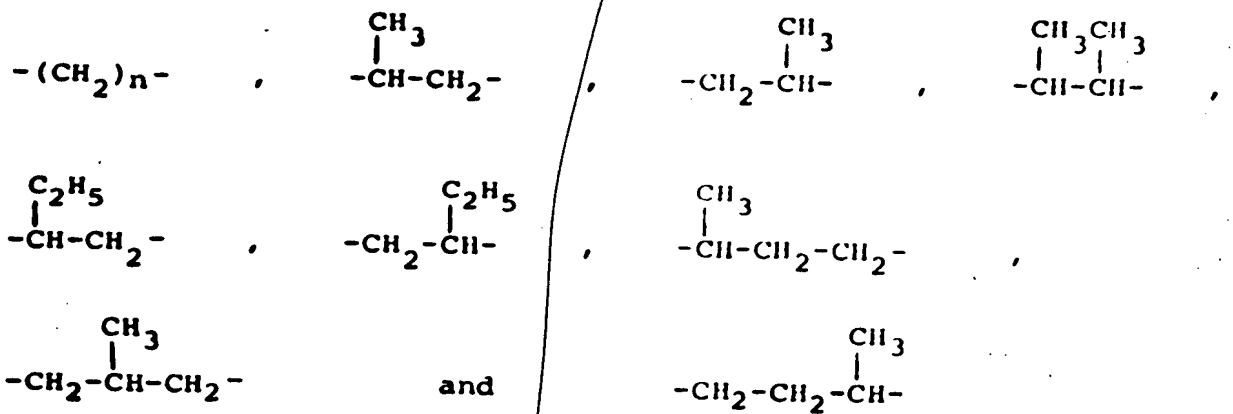
43. A compound selected from the group consisting of 1,4-bis[(2-aminoethyl)amino]-5,8-dihydroxyanthraquinone, the leuco base and tautomer thereof, and the pharmaceutically acceptable acid-addition salts thereof.

44. A compound selected from the group consisting of 1,4-bis[2-(methylamino)ethylamino]-5,8-dihydroxyanthraquinone, the leuco base and tautomer thereof, and the pharmaceutically acceptable acid-addition salts thereof.

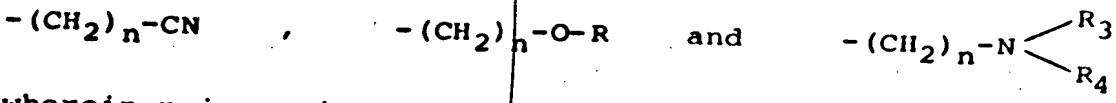
45. A method of inducing regression and/or palliation of cancer diseases in a mammal comprising administering orally or parenterally to said mammal an effective amount of a compound selected from the group consisting of those of the formula:



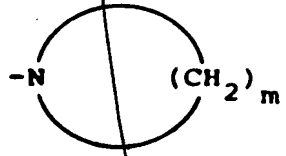
wherein Q is a divalent moiety selected from the group consisting of those of the formulae:



wherein n is an integer from 2 to 4, inclusive; R_1 and R_2 are each individually selected from the group consisting of hydrogen, alkyl having from 1 to 4 carbon atoms, monohydroxyalkyl having from 2 to 4 carbon atoms and wherein the carbon atom alpha to the nitrogen atom may not bear an hydroxy group, dihydroxyalkyl having from 3 to 6 carbon atoms and wherein the carbon atom alpha to the nitrogen atom may not bear an hydroxy group, formyl, alkanoyl having from 2 to 4 carbon atoms, trifluoroacetyl and moieties of the formulae:

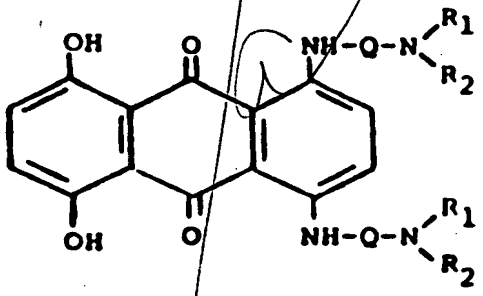


wherein n is an integer from 2 to 4, inclusive, R is alkyl having from 1 to 4 carbon atoms, and R_3 and R_4 are each individually selected from the group consisting of hydrogen, alkyl having from 1 to 4 carbon atoms and monohydroxyalkyl having from 2 to 4 carbon atoms and wherein the carbon atom alpha to the nitrogen atom may not bear an hydroxy group, and R_3 and R_4 taken together with their associated N(itrogen) is morpholino, thiomorpholino, piperazino, 4-methyl-1-piperazino or a moiety of the formula:

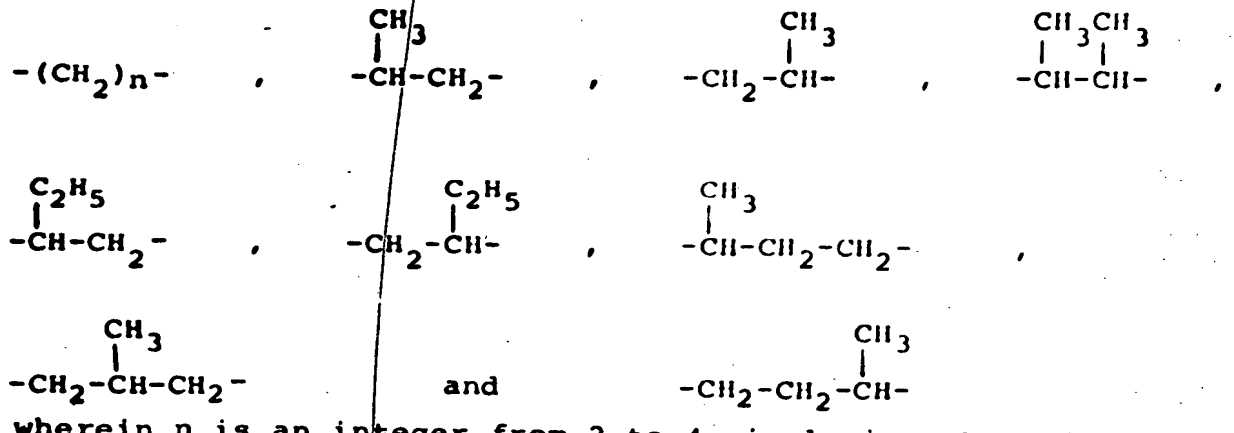


wherein m is an integer from 2 to 6, inclusive; with the first proviso that the ratio of the total number of carbon atoms to the sum of the total number of oxygen atoms plus the total number of nitrogen atoms in the side chains at the 1-position and the 4-position may not exceed 4 and with the second proviso that R_1 and R_2 may not both be hydrogen or alkyl; the leuco bases and tautomers thereof and the pharmacologically acceptable acid--addition-salts thereof.

46. A composition in dosage unit form useful for inducing regression and/or palliation of cancer diseases in mammals comprising from about one mg. to about 200 mg. per kilogram of body weight per daily dosage unit of a compound selected from the group consisting of those of the formula:



wherein Q is a divalent moiety selected from the group consisting of those of the formulae:

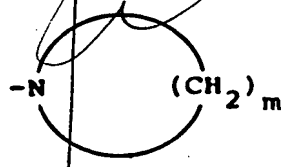


wherein n is an integer from 2 to 4, inclusive; R_1 and R_2 are each individually selected from the group consisting of

hydrogen, alkyl having from 1 to 4 carbon atoms, monohydroxyalkyl having from 2 to 4 carbon atoms and wherein the carbon atom alpha to the nitrogen atom may not bear an hydroxy group, dihydroxyalkyl having from 3 to 6 carbon atoms and wherein the carbon atom alpha to the nitrogen atom may not bear an hydroxy group, formyl, alkanoyl having from 2 to 4 carbon atoms, trifluoroacetyl and moieties of the formulae:

$-(CH_2)_n-CN$, $-(CH_2)_n-O-R$ and $-(CH_2)_n-N\begin{matrix}R_3\\R_4\end{matrix}$

wherein n is an integer from 2 to 4, inclusive, R is alkyl having from 1 to 4 carbon atoms, and R_3 and R_4 are each individually selected from the group consisting of hydrogen, alkyl having from 1 to 4 carbon atoms and monohydroxyalkyl having from 2 to 4 carbon atoms and wherein the carbon atom alpha to the nitrogen atom may not bear an hydroxy group, and R_3 and R_4 taken together with their associated N(itrogen) is morpholino, thiomorpholino, piperazino, 4-methyl-1-piperazino or a moiety of the formula:



wherein m is an integer from 2 to 6, inclusive; with the first proviso that the ratio of the total number of carbon atoms to the sum of the total number of oxygen atoms plus the total number of nitrogen atoms in the side chains at the 1-position and the 4-position may not exceed 4 and with the second proviso that R_1 and R_2 may not both be hydrogen or alkyl; the leuco bases and tautomers thereof and the pharmacologically acceptable acid-addition salts thereof; in association with a pharmaceutical carrier.

~~47.~~ A compound selected from the group consisting of 1,4-bis[2-(1,3-oxazolidin-3-yl)ethylamino]-5,8-dihydroxyanthraquinone, the leuco base and tautomer thereof, and the pharmaceuti-

cally acceptable acid addition salts thereof.

48. A compound selected from the group consisting of 1,4-bis[2-(tetrahydro-1,3-oxazin-1-yl)ethylamino]-5,8-dihydroxyanthraquinone, the leuco base and tautomer thereof, and the pharmaceutically acceptable acid-addition salts thereof.

49. A compound selected from the group consisting of 1,4-bis[2-(1,3-oxazolidin-2-one-1-yl)ethylamino]-5,8-dihydroxyanthraquinone, the leuco base and tautomer thereof, and the pharmaceutically acceptable acid-addition salts thereof.

50. A compound selected from the group consisting of 1,4-bis[2-(tetrahydro-1,3-oxazin-2-one-1-yl)ethylamino]-5,8-dihydroxyanthraquinone, the leuco base and tautomer thereof, and the pharmaceutically acceptable acid-addition salts thereof.